



(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

CORRECTED VERSION

(19) World Intellectual Property Organization
International Bureau



PCT



(43) International Publication Date
22 September 2005 (22.09.2005)

(10) International Publication Number
WO 2005/087745 A1

(51) International Patent Classification:

C07D 221/12 (2006.01) C07D 471/04 (2006.01)
C07D 401/12 (2006.01)

(21) International Application Number:

PCT/EP2005/051054

(22) International Filing Date: 9 March 2005 (09.03.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

04100990.3 10 March 2004 (10.03.2004) EP
04106677.0 17 December 2004 (17.12.2004) EP

(71) Applicant (for all designated States except US): **ALTANA PHARMA AG** [DE/DE]; Byk-Gulden-Str. 2, 78467 Konstanz (DE).

(72) Inventors (for all designated States except CA, PH, US): **SCHMIDT, Beate**; Allensbacher Str. 5, 78476 Allensbach (DE). **FLOCKERZI, Dieter**; Ackerweg 26, 78476 Allensbach (DE). **HATZELMANN, Armin**; Alter Wall 3, 78467 Konstanz (DE). **ZITT, Christof**; Mainaustr. 209 D, 78464 Konstanz (DE). **BARSIG, Johannes**; Bleichenweg 11, 78467 Konstanz (DE). **MARX, Degenhard**; Obere Reute 15, 78345 Moos (DE). **KLEY, Hans-Peter**; Im Weinberg 3b, 78476 Allensbach (DE).

(72) Inventor; and

(75) Inventor/Applicant (for US only): **KAUTZ, Ulrich** [DE/DE]; Prof.-Schmider-Str. 12, 78476 Allensbach (DE).

(74) Agents: **WILD, Robert** et al.; c/o Altana Pharma AG, Byk-Gulden-Str. 2, 78467 Konstanz (DE).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))
- of inventorship (Rule 4.17(iv))

Published:

- with international search report

(48) Date of publication of this corrected version:

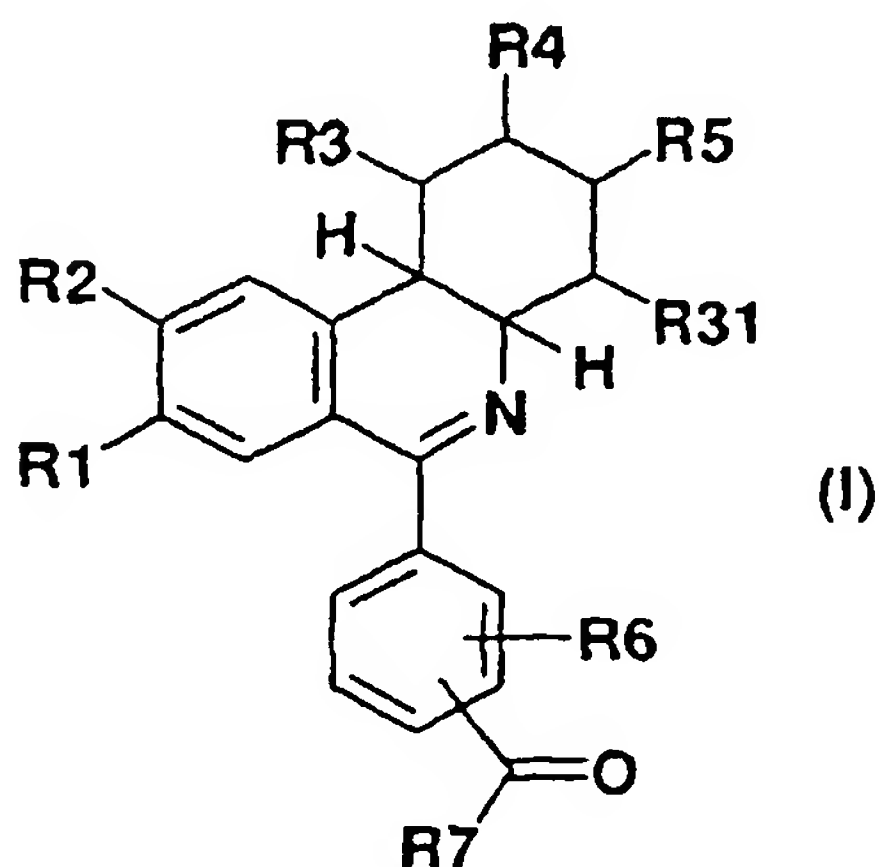
26 October 2006

(15) Information about Correction:

see PCT Gazette No. 43/2006 of 26 October 2006

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: NOVEL AMIDO-SUBSTITUTED HYDROXY-6-PHENYLPHENANTHRIDINES AND THEIR USE AS PDE4 INHIBITORS



(57) Abstract: Compounds of formula (I) in which R1 is hydroxyl, 1-4C-alkoxy, 3-7C-cycloalkoxy, 3-7C-cycloalkylmethoxy, 2,2-difluoroethoxy, or completely or predominantly fluorine-substituted 1-4C-alkoxy, R2 is hydroxyl, 1-4C-alkoxy, 3-7C-cycloalkoxy, 3-7C-cycloalkylmethoxy, 2,2-difluoroethoxy, or completely or predominantly fluorine-substituted 1-4C-alkoxy, or in which R1 and R2 together are a 1-2C-alkylenedioxy group, R3 is hydrogen or 1-4C-alkyl, R31 is hydrogen or 1-4C-alkyl, either, in a first embodiment (embodiment a) according to the present invention, R4 is -O-R41, in which R41 is hydrogen, 1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, hydroxy-2-4C-alkyl, 1-7C-alkylcarbonyl, or completely or predominantly fluorine-substituted 1-4C-alkyl. and R5 is hydrogen or 1-4C-alkyl, or, in a second embodiment (embodiment b) according to the present invention, R4 is hydrogen or 1-4C-alkyl, and R5 is -O-R51, in which R51 is hydrogen, 1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, hydroxy-2-4C-alkyl, 1-7C-alkylcarbonyl, or completely or predominantly fluorine-substituted 1-4C-alkyl, R6 is hydrogen, halogen, 1-4C-alkyl or 1-4C-alkoxy, either, in a first aspect (aspect 1) according to the present invention, R7 is -N(R8)R9, or, in a second aspect (aspect 2) according to the present invention, R7 is

-NH-N(R18)R19, are novel effective PDE4 inhibitors.

WO 2005/087745 A1